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                 for CERAB, COMPUAB, ELCOM, and SOLIDSTATE
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         FEB 02
                 GENBANK enhanced with SET PLURALS and SET SPELLING
NEWS
         FEB 06
                 Patent sequence location (PSL) data added to USGENE
NEWS
         FEB 10
                 COMPENDEX reloaded and enhanced
NEWS
      7
         FEB 11
                 WTEXTILES reloaded and enhanced
NEWS
         FEB 19
                 New patent-examiner citations in 300,000 CA/CAplus
                 patent records provide insights into related prior
NEWS
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         FEB 19
                 Increase the precision of your patent queries -- use
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NEWS 10
         FEB 23
                 Several formats for image display and print options
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         FEB 23
                 MEDLINE now offers more precise author group fields
NEWS 11
                 and 2009 MeSH terms
         FEB 23
                 TOXCENTER updates mirror those of MEDLINE - more
NEWS 12
                 precise author group fields and 2009 MeSH terms
NEWS 13
         FEB 23
                 Three million new patent records blast AEROSPACE into
                 STN patent clusters
NEWS 14
         FEB 25
                 USGENE enhanced with patent family and legal status
                 display data from INPADOCDB
NEWS 15
         MAR 06
                 INPADOCDB and INPAFAMDB enhanced with new display
                 formats
NEWS 16
         MAR 11
                 EPFULL backfile enhanced with additional full-text
                 applications and grants
NEWS 17
         MAR 11
                 ESBIOBASE reloaded and enhanced
                 CAS databases on STN enhanced with new super role
NEWS 18
         MAR 20
                 for nanomaterial substances
                 CA/CAplus enhanced with more than 250,000 patent
NEWS 19
         MAR 23
                 equivalents from China
NEWS 20
         MAR 30
                 IMSPATENTS reloaded and enhanced
NEWS 21
         APR 03
                 CAS coverage of exemplified prophetic substances
                  enhanced
NEWS 22
         APR 07
                 STN is raising the limits on saved answers
NEWS 23
         APR 24
                 CA/CAplus now has more comprehensive patent assignee
                  information
NEWS 24
         APR 26
                 USPATFULL and USPAT2 enhanced with patent
                  assignment/reassignment information
NEWS 25
         APR 28
                 CAS patent authority coverage expanded
NEWS 26
         APR 28
                 ENCOMPLIT/ENCOMPLIT2 search fields enhanced
NEWS 27
         APR 28
                 Limits doubled for structure searching in CAS
                 REGISTRY
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NEWS EXPRESS JUNE 27 08 CURRENT WINDOWS VERSION IS V8.3,

AND CURRENT DISCOVER FILE IS DATED 23 JUNE 2008.

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FULL ESTIMATED COST

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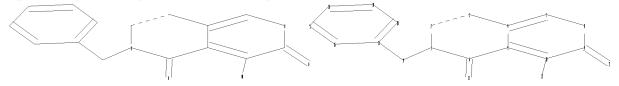
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chain nodes : 11 12 14 21 ring nodes : 1 2 3 4 5 6 7 8 9 10 15 16 17 18 19 20 chain bonds : 1-14 6-11 9-12 10-21 14-15 ring bonds : $1-2 \quad 1-6 \quad 2-3 \quad 3-4 \quad 4-5 \quad 4-7 \quad 5-6 \quad 5-10 \quad 7-8 \quad 8-9 \quad 9-10 \quad 15-16 \quad 15-20 \quad 16-17 \quad 17-18$ 18-19 19-20 exact/norm bonds : $1-2 \quad 1-6 \quad 1-14 \quad 2-3 \quad 3-4 \quad 4-5 \quad 4-7 \quad 5-6 \quad 5-10 \quad 6-11 \quad 7-8 \quad 8-9 \quad 9-10 \quad 9-12 \quad 10-21$ exact bonds : 14 - 15normalized bonds : 15-16 15-20 16-17 17-18 18-19 19-20 isolated ring systems :

Match level:

containing 1 : 15 :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:CLASS 12:CLASS 14:CLASS 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom 20:Atom 21:CLASS

L1 STRUCTURE UPLOADED

=> d 11

L1 HAS NO ANSWERS

L1 STR

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

Structure attributes must be viewed using STN Express query preparation.

=> s 11 full

FULL SEARCH INITIATED 15:09:18 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 932 TO ITERATE

100.0% PROCESSED 932 ITERATIONS 177 ANSWERS

SEARCH TIME: 00.00.01

L2 177 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS
SINCE FILE TOTAL
ENTRY SESSION
FULL ESTIMATED COST
185.88
186.10

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This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 12 full L3 7 L2

=> d ibib abs hitstr tot

L3 ANSWER 1 OF 7 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2008:1367982 CAPLUS

DOCUMENT NUMBER: 149:556676

TITLE: Synthesis of diazocino[2,1-a]naphthyridinetrione

derivative in crystalline form

INVENTOR(S): Lohani, Sachin; Peng, Zhihui; Mckeown, Arlene E.

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 36pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE		
US 20080280945 PRIORITY APPLN. INFO.:	A1	20081113	US 2008-151744 US 2007-928292P P	20080508 20070509		

Ι

AB Crystalline forms of a hexahydro-diazocinonaphthyridine trione I are disclosed. The compound and its crystalline forms thereof are HIV integrase inhibitors useful for the prophylaxis or treatment of HIV infection or for the prophylaxis, treatment or delay in the onset or progression of AIDS (data not shown).

IT 865300-81-8P 865300-86-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of diazocinonaphthyridinetrione in crystalline forms for pharmaceutical use)

RN 865300-81-8 CAPLUS

CN 2,6-Naphthyridine-1-carboxylic acid, 6-[(3-chloro-4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-3,5-dioxo- (CA INDEX NAME)

RN 865300-86-3 CAPLUS

CN 2,6-Naphthyridine-1-carboxylic acid, 6-[(3-chloro-4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-3,5-dioxo-, ethyl ester (CA INDEX NAME)

ANSWER 2 OF 7 CAPLUS COPYRIGHT 2009 ACS on STN T.3

2008:1298436 CAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 150:47221

TITLE: Serendipitous discovery of a pH-dependent atropisomer

bond rotation: Toward a write-protectable chiral

molecular switch?

AUTHOR(S): Welch, Christopher J.; Biba, Mirlinda; Pye, Philip;

Angelaud, Remy; Egbertson, Melissa

CORPORATE SOURCE: Department of Process Research, Merck Research

Laboratories, Inc., Rahway, NJ, 07065, USA

SOURCE: Journal of Chromatography, B: Analytical Technologies

in the Biomedical and Life Sciences (2008), 875(1),

118-121

CODEN: JCBAAI; ISSN: 1570-0232

PUBLISHER: Elsevier B.V.

DOCUMENT TYPE: Journal LANGUAGE: English

Owing to slow rotation of a sterically constrained dimethylamide AB substituent, two slowly interconverting enantiomers of a preclin.

candidate for pharmaceutical development, 1,

(6-(3-Chloro-4-fluoro-benzyl)-4-hydroxy-2-methyl-3,5-dioxo-2,3,5,6,7,8hexahydro-[2,6]naphthyridine-1-carboxylic acid dimethylamide) are observed by chiral chromatog. Isolation of pure enantiomer by preparative chiral chromatog. followed by enantiopurity anal. over time allowed for a study of the kinetics of enantiomer interconversion under a variety of conditions. Relatively slow racemization was observed in alc. solvents, with a half life on the order of 5-10 h. A dramatic influence of aqueous buffer pH on racemization was noted, with higher pH leading to rapid racemization within a few minutes, and lower pH leading to essentially no racemization for periods up to a week. A hypothesis explaining this unusual effect of pH on carboxamide bond rotation is offered, and some suggestions for potential utility of such a system are considered.

ΙT 865298-81-3

> RL: ANT (Analyte); PEP (Physical, engineering or chemical process); PRP (Properties); ANST (Analytical study); PROC (Process)

(pH-dependent atropisomer bond rotation and potential use as chiral mol. switch)

RN 865298-81-3 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(3-chloro-4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N,N,2-trimethyl-3,5-dioxo- (CA INDEX NAME)

$$\begin{array}{c|c} O & & & \\ \hline C-NMe_2 & & C1 \\ \hline Me & & & \\ \hline N & & & \\ \hline OH & O & & \\ \end{array}$$

REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD, ALL CITATIONS AVAILABLE IN THE RE FORMAT L3 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2006:1205598 CAPLUS

DOCUMENT NUMBER: 145:505478

TITLE: Preparation of tricyclic naphthyridine derivatives, as

HIV integrase inhibitors

INVENTOR(S): Vacca, Joseph P.; Wai, John S.; Payne, Linda S.;

Isaacs, Richard C. A.; Han, Wei; Egbertson, Melissa;

Pracitto, Richard

PATENT ASSIGNEE(S): Merck & Co., Inc., USA SOURCE: PCT Int. Appl., 122pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

GI

	PATENT NO.												DATE						
WO	2006121831 2006121831			A2					WO 2										
	W:	ΑE,	AG,	AL,	AM,	ΑT,	AU, AZ,		BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,		
		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,		
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	ΚM,	KN,	KP,	KR,		
	KZ, LC, LK,		LK,	LR,	LS,	LT,	LU,	LV,	LY,	MA,	MD,	MG,	MK,	MN,	MW,	MX,			
	MZ, NA, NG,		NG,	NΙ,	NO,	NΖ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,			
	SG, SK, SL,		SL,	SM,	SY,	ТJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,			
	VN, YU, ZA,		ZA,	ZM,	ZW														
	RW:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	ΙE,		
		IS,	IT,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	ВJ,		
		CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	ΤG,	BW,	GH,		
		GM,	KΕ,	LS,	MW,	${ m MZ}$,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	ΑM,	ΑZ,	BY,		
		KG,	KΖ,	MD,	RU,	ΤJ,	TM,	AP,	EA,	EP,	OA								
AU	AU 2006244424						2006	1116		AU 2	006-	2444:	20060505						
	CA 2607151																		
EP	EP 1881825			A2 20080130					EP 2	006-	7591	20060505							
	R:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	ΙE,		
		IS,	ΙΤ,	LI,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	AL,		
				MK,															
	JP 2008540529						20081120						20060505						
	US 20090054399						2009	0226	US 2007-920032						20071107				
PRIORIT	PRIORITY APPLN. INFO.:									US 2005-679431P						P 20050510			
										WO 2	006-	1	W 2	0060.	505				
OTHER SO	OTHER SOURCE(S):					PAT	145:	5054	78										

^{*} STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Tricyclic compds. I [R1 = (un)substituted alkyl; R2 = H, alkyl; R3 = H, halo, CN, halo/alkyl, SO2NH2 and derivs., NH2 and derivs., etc.; when R2 and R3 are attached to a double bond, then R2C:CR3 = (un)substituted Ph, 5- to 6-membered heteroaryl; A = (un)substituted 5- to 9-membered saturated or mono-unsatd. heterocyclyl containing 2-4 heteroatoms selected from N, O, S, in which each S is optionally oxidized to SO or SO2; ; and their pharmaceutically acceptable salts] were prepared as HIV integrase and HIV replication inhibitors. For example, diazocinonaphthyridine II was prepared by coupling acid III (preparation given) with N-[2-(benzyloxy)ethyl]-4-[(tert-butyldimethylsilyl)oxy]butan-1-amine (preparation given), removal of tert-butyldimethylsilyl group, treatment of the alc. with methanesulfonic anhydride, cyclization in the presence of CsCO3,

and deprotection in the presence of HBr in AcOH (no data for the first two steps). I displayed IC50's <1 μM in an HIV integrase assay. Pyrazinopyrimidines I exhibited IC95's of <10 μM for the inhibition of acute HIV infection of T-lymphoid cells. I exhibited no cytotoxicity at concns. $\leq \! 10~\mu M$. I are useful in the prevention and treatment of infection by HIV and in the prevention, delay in the onset, and treatment of AIDS. I can be employed in pharmaceutical compns., optionally in combination with other antivirals, immunomodulators, antibiotics or vaccines.

IT 865300-53-4P, Methyl 6-(3-chloro-4-fluorobenzyl)-3,4-dihydroxy-5-oxo-5,6,7,8-tetrahydro-2,6-naphthyridine-1-carboxylate 915065-29-1P, Methyl 2-(4-chlorobutyl)-6-(3-chloro-4-fluorobenzyl)-4-hydroxy-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxylate 915065-30-4P, Methyl 6-(3-chloro-4-fluorobenzyl)-4-hydroxy-2-[4-(methylamino)butyl]-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxylate 915065-31-5P,

6-(3-Chloro-4-fluorobenzyl)-4-hydroxy-2-[4-(methylamino)butyl]-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxylic acid hydrochloride 915065-36-0P, Methyl 2-(2-tert-butoxy-2-oxoethyl)-6-(3-chloro-4-fluorobenzyl)-4-hydroxy-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxylate 915065-66-6P, Methyl

2-(allyl)-6-(3-chloro-4-fluorobenzyl)-4-hydroxy-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxylate

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of tricyclic naphthyridines as HIV integrase inhibitors)

RN 865300-53-4 CAPLUS

CN 2,6-Naphthyridine-1-carboxylic acid,

6-[(3-chloro-4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-3,5-dioxo-, methyl ester (CA INDEX NAME)

RN 915065-29-1 CAPLUS

CN

2,6-Naphthyridine-1-carboxylic acid, 2-(4-chlorobutyl)-6-[(3-chloro-4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-3,5-dioxo-, methyl ester (CA INDEX NAME)

RN 915065-30-4 CAPLUS

CN 2,6-Naphthyridine-1-carboxylic acid, 6-[(3-chloro-4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-2-[4-(methylamino)butyl]-3,5-dioxo-, methyl ester (CA INDEX NAME)

RN 915065-31-5 CAPLUS

CN 2,6-Naphthyridine-1-carboxylic acid, 6-[(3-chloro-4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-2-[4-(methylamino)butyl]-3,5-dioxo-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 915065-36-0 CAPLUS

CN

2,6-Naphthyridine-2(3H)-acetic acid, 6-[(3-chloro-4-fluorophenyl)methyl]-5,6,7,8-tetrahydro-4-hydroxy-1-(methoxycarbonyl)-3,5-dioxo-, 1,1-dimethylethyl ester (CA INDEX NAME)

RN 915065-66-6 CAPLUS

CN 2,6-Naphthyridine-1-carboxylic acid, 6-[(3-chloro-4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-3,5-dioxo-2-(2-propen-1-yl)-, methyl ester (CA INDEX NAME)

$$\begin{array}{c|c} & & & & & \\ & & & & \\ \text{H}_2\text{C} & = \text{CH} - \text{CH}_2 & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\$$

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ANSWER 4 OF 7 CAPLUS COPYRIGHT 2009 ACS on STN
L3
                            2006:1066462 CAPLUS
ACCESSION NUMBER:
DOCUMENT NUMBER:
                             145:419116
                             Preparation of crystalline
TITLE:
                             6-(3-chloro-4-fluorobenzyl)-4-hydroxy-2-isopropyl-N,N-
                             dimethyl-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-
                             naphthyridine-1-carboxamide sodium salt as an HIV
                             integrase inhibitor.
INVENTOR(S):
                            Matty, Louis, Jr.; Wang, Yaling
PATENT ASSIGNEE(S):
                            Merck & Co., Inc., USA
                             PCT Int. Appl., 23pp.
SOURCE:
                             CODEN: PIXXD2
DOCUMENT TYPE:
                             Patent
LANGUAGE:
                             English
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
     PATENT NO.
                           KIND DATE
                                                 APPLICATION NO.
                                                                            DATE
                            ____
                                    _____
                                                  _____
                                                 WO 2006-US7716
                            A2
     WO 2006107478
                                     20061012
                                                                             20060303
                            A3
                                   20070712
     WO 2006107478
          W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
              CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC,
               VN, YU, ZA, ZM, ZW
          RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,
               GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
               KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA
PRIORITY APPLN. INFO.:
                                                   US 2005-659952P P 20050309
OTHER SOURCE(S):
                            CASREACT 145:419116
     Title compound (I) having a specified X-ray powder diffraction pattern was
     prepared as an HIV integrase inhibitor useful for the prophylaxis or
     treatment of HIV infection or for the prophylaxis, treatment or delay in
     the onset of AIDS (no data). I was prepared from Et
     6-(3-chloro-4-fluorobenzyl)-4-hydroxy-5-oxo-5,6,7,8-tetrahydro-2,6-
     naphthyridine-1-carboxylate in 7 steps.
ΙT
     865300-19-2P
     RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic
     preparation); THU (Therapeutic use); BIOL (Biological study); PREP
      (Preparation); USES (Uses)
         (preparation of crystalline
(chlorofluorobenzyl) hydroxyisopropyldioxohexahydronap
         hthyridinedimethylamide sodium salt as an HIV integrase inhibitor)
RN
     865300-19-2 CAPLUS
     2,6-Naphthyridine-1-carboxamide, 6-[(3-chloro-4-fluorophenyl)methyl]-
CN
     2,3,5,6,7,8-hexahydro-4-hydroxy-N, N-dimethyl-2-(1-methylethyl)-3,5-dioxo-,
```

sodium salt (1:1) (CA INDEX NAME)

Na

IT 865298-83-5P 865300-62-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of crystalline

(chlorofluorobenzyl) hydroxyisopropyldioxohexahydronap

hthyridinedimethylamide sodium salt as an HIV integrase inhibitor)

RN 865298-83-5 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(3-chloro-4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N,N-dimethyl-2-(1-methylethyl)-3,5-dioxo-(CA INDEX NAME)

RN 865300-62-5 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(3-chloro-4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N,N-dimethyl-3,5-dioxo- (CA INDEX NAME)

L3 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2005:1026950 CAPLUS

DOCUMENT NUMBER: 143:326344

TITLE: Preparation of hydroxynaphthyridinediones as HIV

integrase inhibitors

INVENTOR(S): Han, Wei; Egbertson, Melissa; Wai, John S.; Zhuang,

Linghang; Ruzek, Rowena D.; Perlow, Debra S.; Isaacs,

Richard C. A.; Cameron, Mark; Foster, Bruce S.;

Dolling, Ulf H.; Hoerrner, R. Scott; Obligado, Vanessa E.; Neilson, Lou Anne; Kim, Boyoung; Payne, Linda S.;

Morrisette, Matthew M.; Williams, Peter D.; Pye,

Philip J.; Angelaud, Remy; Mancheno, Danny E.; Askin,

David

PATENT ASSIGNEE(S): Merck & Co., Inc., USA SOURCE: PCT Int. Appl., 193 pp.

CODEN: PIXXD2

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PATENT NO.					KIND DATE								DATE						
WO	 ₩O 2005087768					A1 20050922					005-			20050309					
	W:	ΑE,	AG,	AL,	AM,	AT,	ΑU,	AΖ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,		
		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FΙ,	GB,	GD,		
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KΖ,	LC,		
							LV,			,						•			
							PL,												
		SY,	ΤJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW	
	RW:	BW,	GH,	GM,	KΕ,	LS,	MW,	${ m MZ}$,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	ΑM,		
		AΖ,	BY,	KG,	KΖ,	MD,	RU,	ΤJ,	TM,	ΑT,	BE,	ВG,	CH,	CY,	CZ,	DE,	DK,		
		EE,	ES,	FΙ,	FR,	GB,	GR,	HU,	ΙE,	IS,	ΙΤ,	LT,	LU,	MC,	NL,	PL,	PT,		
		RO,	SE,	SI,	SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	${ m ML}$,		
			,	,	TD,														
AU 2005222391																			
		2558026							CA 2005-2558026										
EP								EP 2005-725120											
	R:	•	•	•	•		CZ,		•	,		•			•	,	•		
		•	•	•	•	LU,	MC,	ΝL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	AL,	BΑ,		
		HR,	LV,	,															
CN 1930160								CN 2005-80007404											
JP 2007528401																			
US 20070179196			A1 20070802																
IN 2006DN04787				A 20070831										20060821					
ORITY APPLN. INFO.:							US 2004-551625P					P 20040309							
										US 2004-633134P						P 20041203			
										72			0050	309					
HER SOURCE(S) .					CASREACT 143.326344. MARPAT 143.326344														

OTHER SOURCE(S): CASREACT 143:326344; MARPAT 143:326344

GΙ

$$X^{1}$$
 X^{2}
 X^{3}
 X^{2}
 X^{3}
 X^{1}
 X^{2}
 X^{3}
 X^{4}
 X^{5}
 X^{7}
 X^{1}
 X^{1}
 X^{1}
 X^{2}
 X^{3}
 X^{4}
 X^{5}
 X^{7}
 X^{7

The title compds. I [X1, X2 = H, alkyl, OH, etc.; or X1 and X2 can AB together form methylenedioxy or ethylenedioxy (if located on adjacent carbons in the Ph ring); X3 = H, alkyl, alkoxy, haloalkyl, haloalkoxy, halo; R4 = alkyl, (un) substituted cycloalkyl, heteroaryl, etc.; R5 = H, alkyl, cycloalkyl, etc.] which are inhibitors of HIV integrase and inhibitors of HIV replication, and therefore are useful in the prevention and treatment of infection by HIV and in the prevention, delay in the onset, and treatment of AIDS, were prepared E.g., a multi-step synthesis of II, starting from piperidin-2-one and 4-fluorobenzyl bromide, was given. The representative compds. I (including II) were tested in the integrase assay and were found to have IC50's less than about 1 μM . The compds. I can be employed against HIV infection and AIDS as compds. per se or in the form of pharmaceutically acceptable salts. The compds. I and their salts can be employed as ingredients in pharmaceutical compns., optionally in combination with other antivirals, immunomodulators, antibiotics or vaccines.

IT 865300-19-2P

RN

RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of hydroxynaphthyridinediones as HIV integrase inhibitors) 865300-19-2 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(3-chloro-4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N,N-dimethyl-2-(1-methylethyl)-3,5-dioxo-, sodium salt (1:1) (CA INDEX NAME)

Na

RN 865298-70-0 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-2-methyl-N-(1-methylethyl)-3,5-dioxo- (CA INDEX NAME)

RN 865298-71-1 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-2-methyl-3,5-dioxo-N-(2,2,2-trifluoroethyl)- (CA INDEX NAME)

RN 865300-11-4 CAPLUS

CN 2,6-Naphthyridine-1,7-dione, 5-bromo-2-[(3-chloro-4-fluorophenyl)methyl]-2,3,4,6-tetrahydro-8-hydroxy-6-methyl- (CA INDEX NAME)

RN 865300-12-5 CAPLUS

CN 2,6-Naphthyridine-1,7-dione, 2-[(3-chloro-4-fluorophenyl)methyl]-2,3,4,6-tetrahydro-8-hydroxy- (CA INDEX NAME)

865298-59-5P 865298-60-8P 865298-61-9P ΤТ 865298-62-0P 865298-63-1P 865298-64-2P 865298-65-3P 865298-66-4P 865298-67-5P 865298-68-6P 865298-69-7P 865298-72-2P 865298-73-3P 865298-74-4P 865298-75-5P 865298-76-6P 865298-77-7P 865298-78-8P 865298-79-9P 865298-80-2P 865298-81-3P 865298-82-4P 865298-83-5P 865298-84-6P 865298-85-7P 865298-86-8P 865298-87-9P 865298-88-0P 865298-89-1P 865298-90-4P 865298-91-5P 865298-92-6P 865298-93-7P 865298-94-8P 865298-95-9P 865298-96-0P 865298-97-1P 865298-98-2P 865298-99-3P 865299-00-9P 865299-01-0P 865299-02-1P 865299-03-2P 865299-04-3P 865299-05-4P 865299-06-5P 865299-07-6P 865299-08-7P 865299-09-8P 865299-10-1P 865299-11-2P 865299-12-3P 865299-15-6P 865299-16-7P

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865299-28-1P 865299-29-2P 865299-30-5P
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865299-34-9P 865299-35-0P 865299-36-1P
865299-37-2P 865299-38-3P 865299-39-4P
865299-40-7P 865299-41-8P 865299-42-9P
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865299-47-4P 865299-48-5P 865299-49-6P
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865299-72-5P 865299-73-6P 865299-74-7P
865299-75-8P 865299-76-9P 865299-77-0P
865299-78-1P 865299-79-2P 865299-80-5P
865299-81-6P 865299-82-7P 865299-83-8P
865299-84-9P 865299-85-0P 865299-86-1P
865299-87-2P 865299-88-3P 865299-89-4P
865299-90-7P 865299-91-8P 865299-92-9P
865299-93-0P 865299-94-1P 865299-95-2P
865299-96-3P 865299-97-4P 865299-98-5P
865299-99-6P 865300-00-1P 865300-01-2P
865300-02-3P 865300-03-4P 865300-04-5P
865300-05-6P 865300-06-7P 865300-07-8P
865300-08-9P 865300-09-0P 865300-10-3P
865300-13-6P 865300-14-7P 865300-15-8P
865300-16-9P 865300-17-0P 865300-18-1P
865300-98-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)
   (preparation of hydroxynaphthyridinediones as HIV integrase inhibitors)
865298-59-5 CAPLUS
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2,6-Naphthyridine-1-carboxamide, 6-[(4-fluorophenyl)methyl]-2,3,5,6,7,8-

hexahydro-4-hydroxy-N, N-dimethyl-3, 5-dioxo- (CA INDEX NAME)

RN

CN

RN 865298-60-8 CAPLUS
CN 2,6-Naphthyridine-1-carboxamide, N-cyclobutyl-6-[(4-fluorophenyl)methyl]2,3,5,6,7,8-hexahydro-4-hydroxy-3,5-dioxo- (CA INDEX NAME)

RN 865298-61-9 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, N-cyclopropyl-6-[(4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-3,5-dioxo- (CA INDEX NAME)

RN 865298-62-0 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N-(1-methylethyl)-3,5-dioxo- (CA INDEX NAME)

RN 865298-63-1 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N-methyl-3,5-dioxo- (CA INDEX NAME)

RN 865298-64-2 CAPLUS

CN 2,6-Naphthyridine-1-carboxylic acid, 6-[(4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-3,5-dioxo- (CA INDEX NAME)

RN 865298-65-3 CAPLUS

CN Methanesulfonamide, N-[6-[(4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-3,5-dioxo-2,6-naphthyridin-1-yl]-N-methyl- (CA INDEX NAME)

RN 865298-66-4 CAPLUS

CN Acetamide, N-[6-[(4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-2-methyl-3,5-dioxo-2,6-naphthyridin-1-yl]-N-methyl- (CA INDEX NAME)

RN 865298-67-5 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N,N,2-trimethyl-3,5-dioxo- (CA INDEX NAME)

RN 865298-68-6 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, N-cyclobutyl-6-[(4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-2-methyl-3,5-dioxo- (CA INDEX NAME)

RN 865298-69-7 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, N-cyclopropyl-6-[(4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-2-methyl-3,5-dioxo-(CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\$$

RN 865298-72-2 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-2-methyl-N-[2-(methylsulfonyl)ethyl]-3,5-dioxo- (CA INDEX NAME)

RN 865298-73-3 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, N,6-bis[(4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-2-methyl-3,5-dioxo-(CA INDEX NAME)

RN 865298-74-4 CAPLUS

CN 2,6-Naphthyridine-1,7-dione, 2-[(4-fluorophenyl)methyl]-2,3,4,6-tetrahydro-8-hydroxy-6-methyl-5-(1-piperidinylcarbonyl)- (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\$$

RN 865298-75-5 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, N-(2,2-dimethylpropyl)-6-[(4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-2-methyl-3,5-dioxo-(CA INDEX NAME)

$$\begin{array}{c|c} O \\ C-NH-CH_2-CMe_3 \\ \hline Me \\ N \\ OH \\ O \end{array}$$

RN 865298-76-6 CAPLUS

CN 2,6-Naphthyridine-1,7-dione, 2-[(4-fluorophenyl)methyl]-2,3,4,6-tetrahydro-8-hydroxy-5-(4-thiomorpholinylcarbonyl)- (CA INDEX NAME)

RN 865298-77-7 CAPLUS

CN 2,6-Naphthyridine-1,7-dione, 2-[(4-fluorophenyl)methyl]-2,3,4,6-tetrahydro-8-hydroxy-5-(1-piperazinylcarbonyl)- (CA INDEX NAME)

$$\begin{array}{c} H \\ N \\ C = O \\ CH_2 - N \\ O \end{array}$$

RN 865298-78-8 CAPLUS

CN 2,6-Naphthyridine-1,7-dione, 2-[(4-fluorophenyl)methyl]-2,3,4,6-tetrahydro-8-hydroxy-5-(1-piperazinylcarbonyl)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 865298-77-7 CMF C20 H21 F N4 O4

$$\begin{array}{c} H \\ N \\ C = O \\ C \\ O \\ O \\ O \\ O \\ \end{array}$$

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 865298-79-9 CAPLUS

CN 1-Piperazinesulfonamide, 4-[[6-[(4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-3,5-dioxo-2,6-naphthyridin-1-yl]carbonyl]-N,N-dimethyl-(CA INDEX NAME)

RN 865298-80-2 CAPLUS

CN 1-Piperazineacetamide, 4-[[6-[(4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-3,5-dioxo-2,6-naphthyridin-1-yl]carbonyl]-N,N-dimethyl- α -oxo- (CA INDEX NAME)

RN 865298-81-3 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(3-chloro-4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N,N,2-trimethyl-3,5-dioxo-(CA INDEX NAME)

RN 865298-82-4 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(3-chloro-4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N,N,2-trimethyl-3,5-dioxo-, sodium salt (1:1) (CA INDEX NAME)

● Na

RN 865298-83-5 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(3-chloro-4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N,N-dimethyl-2-(1-methylethyl)-3,5-dioxo-(CA INDEX NAME)

RN 865298-84-6 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(3-chloro-4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N,N-dimethyl-2-(2-methylpropyl)-3,5-dioxo-(CA INDEX NAME)

RN 865298-85-7 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(3-chloro-4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N-methyl-2-(2-methylpropyl)-3,5-dioxo-(CA INDEX NAME)

RN 865298-86-8 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(3-chloro-4-fluorophenyl)methyl]-N,N-diethyl-2,3,5,6,7,8-hexahydro-4-hydroxy-2-methyl-3,5-dioxo- (CA INDEX NAME)

RN 865298-87-9 CAPLUS

CN 2,6-Naphthyridine-1,7-dione, 2-[(3-chloro-4-fluorophenyl)methyl]-2,3,4,6-tetrahydro-8-hydroxy-6-methyl-5-[(4-methyl-1-piperazinyl)carbonyl]- (CA INDEX NAME)

RN 865298-88-0 CAPLUS

CN 2,6-Naphthyridine-1,7-dione, 2-[(3-chloro-4-fluorophenyl)methyl]-2,3,4,6-tetrahydro-8-hydroxy-6-methyl-5-[(4-methyl-1-piperazinyl)carbonyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 865298-87-9

CMF C22 H24 C1 F N4 O4

$$\begin{array}{c} \text{Me} \\ \\ \text{N} \\ \\ \text{C} \\ \text{O} \\ \text{OH} \\ \end{array}$$

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 865298-89-1 CAPLUS

CN 2,6-Naphthyridine-1,7-dione, 2-[(3-chloro-4-fluorophenyl)methyl]-2,3,4,6-tetrahydro-8-hydroxy-6-methyl-5-(4-thiomorpholinylcarbonyl)- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ & & & \\ &$$

RN 865298-90-4 CAPLUS

CN 2,6-Naphthyridine-1,7-dione, 2-[(3-chloro-4-fluorophenyl)methyl]-2,3,4,6-tetrahydro-8-hydroxy-6-methyl-5-(1-piperidinylcarbonyl)- (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\$$

RN 865298-91-5 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(3-chloro-4-fluorophenyl)methyl]-N-(cyclopropylmethyl)-2,3,5,6,7,8-hexahydro-4-hydroxy-2-methyl-3,5-dioxo-(CA INDEX NAME)

RN 865298-92-6 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(3-chloro-4-fluorophenyl)methyl]-N-cyclopropyl-2,3,5,6,7,8-hexahydro-4-hydroxy-2-methyl-3,5-dioxo-(CA INDEX NAME)

RN 865298-93-7 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(3-chloro-4-fluorophenyl)methyl]-N-ethyl-2,3,5,6,7,8-hexahydro-4-hydroxy-N,2-dimethyl-3,5-dioxo-(CA INDEX NAME)

RN 865298-94-8 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(3-chloro-4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N,2-dimethyl-N-(1-methylethyl)-3,5-dioxo-(CA INDEX NAME)

RN 865298-95-9 CAPLUS

CN 2,6-Naphthyridine-1,7-dione, 2-[(3-chloro-4-fluorophenyl)methyl]-5-[(4,4-difluoro-1-piperidinyl)carbonyl]-2,3,4,6-tetrahydro-8-hydroxy-6-methyl-(CA INDEX NAME)

$$\begin{array}{c|c} F & F \\ \hline & N \\ \hline & C = O \\ \hline & C = O \\ \hline & N \\ \hline & O \\ \hline & O \\ \hline & O \\ \end{array}$$

RN 865298-96-0 CAPLUS

CN 2,6-Naphthyridine-1,7-dione, 2-[(3-chloro-4-fluorophenyl)methyl]-2,3,4,6-tetrahydro-8-hydroxy-6-methyl-5-(4-morpholinylcarbonyl)- (CA INDEX NAME)

RN 865298-97-1 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(3-chloro-4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N,2-dimethyl-3,5-dioxo-(CA INDEX NAME)

RN 865298-98-2 CAPLUS

CN 2,6-Naphthyridine-1,7-dione, 2-[(3-chloro-4-fluorophenyl)methyl]-5-[(4-cyclopropyl-1-piperazinyl)carbonyl]-2,3,4,6-tetrahydro-8-hydroxy-6-methyl-(CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\$$

RN 865298-99-3 CAPLUS

CN 2,6-Naphthyridine-1,7-dione, 2-[(3-chloro-4-fluorophenyl)methyl]-5-[(4-cyclopropyl-1-piperazinyl)carbonyl]-2,3,4,6-tetrahydro-8-hydroxy-6-methyl-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 865298-98-2 CMF C24 H26 C1 F N4 O4

$$\begin{array}{c|c} & & & \\ & & & \\$$

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 865299-00-9 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, N,N-diethyl-6-[(4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-2-methyl-3,5-dioxo-(CA INDEX NAME)

RN 865299-01-0 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, N-[2-(dimethylamino)ethyl]-6-[(4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N,2-dimethyl-3,5-dioxo- (CA INDEX NAME)

RN 865299-02-1 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N,2-dimethyl-N-(1-methyl-4-piperidinyl)-3,5-dioxo-(CA INDEX NAME)

RN 865299-03-2 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, N,6-bis[(4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N,2-dimethyl-3,5-dioxo-(CA INDEX NAME)

$$\begin{array}{c} F \\ CH_2 \\ N-Me \\ C = O \\ Me \\ O \end{array}$$

RN 865299-04-3 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, N,N-diethyl-6-[(4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-3,5-dioxo-(CA INDEX NAME)

RN 865299-05-4 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N-methyl-N-(2-methylpropyl)-3,5-dioxo- (CA INDEX NAME)

RN 865299-06-5 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, N-ethyl-6-[(4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N-methyl-3,5-dioxo- (CA INDEX NAME)

RN 865299-07-6 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N-methyl-3,5-dioxo-N-propyl- (CA INDEX NAME)

RN 865299-08-7 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N-methyl-N-(1-methylethyl)-3,5-dioxo- (CA INDEX NAME)

RN 865299-09-8 CAPLUS

CN 2,6-Naphthyridine-1,7-dione, 2-[(4-fluorophenyl)methyl]-2,3,4,6-tetrahydro-8-hydroxy-5-(1-pyrrolidinylcarbonyl)- (CA INDEX NAME)

RN 865299-10-1 CAPLUS

CN 2,6-Naphthyridine-1,7-dione, 2-[(4-fluorophenyl)methyl]-2,3,4,6-tetrahydro-8-hydroxy-5-(4-morpholinylcarbonyl)- (CA INDEX NAME)

RN 865299-11-2 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 2,3,5,6,7,8-hexahydro-4-hydroxy-N,N,2-trimethyl-3,5-dioxo-6-[[3-(trifluoromethyl)phenyl]methyl]- (CA INDEX NAME)

RN 865299-12-3 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[[4-fluoro-3-(trifluoromethyl)phenyl]methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N,N,2-trimethyl-3,5-dioxo-(CA INDEX NAME)

RN 865299-15-6 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 2,3,5,6,7,8-hexahydro-4-hydroxy-6-[(2-methoxyphenyl)methyl]-N,N,2-trimethyl-3,5-dioxo- (CA INDEX NAME)

RN 865299-16-7 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 2,3,5,6,7,8-hexahydro-4-hydroxy-6-[(3-methoxyphenyl)methyl]-N,N,2-trimethyl-3,5-dioxo- (CA INDEX NAME)

RN 865299-17-8 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 2,3,5,6,7,8-hexahydro-4-hydroxy-N,N,2-trimethyl-6-[(3-methylphenyl)methyl]-3,5-dioxo- (CA INDEX NAME)

RN 865299-18-9 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(3,4-dimethylphenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N,N,2-trimethyl-3,5-dioxo-(CA INDEX NAME)

RN 865299-19-0 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(2,3-dichlorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N,N,2-trimethyl-3,5-dioxo-(CA INDEX NAME)

RN 865299-20-3 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(2,4-difluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N,N,2-trimethyl-3,5-dioxo-(CA INDEX NAME)

$$\begin{array}{c|c} O \\ C-NMe_2 \\ Me \\ O \\ OH \\ O \end{array}$$

RN 865299-22-5 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 2,3,5,6,7,8-hexahydro-4-hydroxy-N,N,2-trimethyl-3,5-dioxo-6-[[3-(trifluoromethoxy)phenyl]methyl]- (CA INDEX NAME)

RN 865299-24-7 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(3-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N,N,2-trimethyl-3,5-dioxo- (CA INDEX NAME)

RN 865299-25-8 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(4-fluoro-3-methylphenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N,N,2-trimethyl-3,5-dioxo-(CA INDEX NAME)

RN 865299-26-9 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(2-bromo-3-chloro-4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N,N,2-trimethyl-3,5-dioxo- (CA INDEX NAME)

RN 865299-27-0 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 2,3,5,6,7,8-hexahydro-4-hydroxy-N,N,2-trimethyl-6-[(2-methylphenyl)methyl]-3,5-dioxo- (CA INDEX NAME)

RN 865299-28-1 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(2-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N,N,2-trimethyl-3,5-dioxo- (CA INDEX NAME)

RN 865299-29-2 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 2,3,5,6,7,8-hexahydro-4-hydroxy-N,N,2-trimethyl-6-[(4-methylphenyl)methyl]-3,5-dioxo- (CA INDEX NAME)

RN 865299-30-5 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(4-chlorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N,N,2-trimethyl-3,5-dioxo- (CA INDEX NAME)

RN 865299-31-6 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 2,3,5,6,7,8-hexahydro-4-hydroxy-6-[(4-methoxyphenyl)methyl]-N,N,2-trimethyl-3,5-dioxo- (CA INDEX NAME)

RN 865299-32-7 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(3,5-dichlorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N,N,2-trimethyl-3,5-dioxo-(CA INDEX NAME)

RN 865299-33-8 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(3,4-dichlorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N,N,2-trimethyl-3,5-dioxo-(CA INDEX NAME)

RN 865299-34-9 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(3,5-difluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N,N,2-trimethyl-3,5-dioxo-(CA INDEX NAME)

$$\begin{array}{c|c} O & & & \\ \hline C-NMe_2 & & F \\ \hline Me & & & \\ O & & & \\ OH & O & & \\ \end{array}$$

RN 865299-35-0 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(3,5-dimethoxyphenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N,N,2-trimethyl-3,5-dioxo-(CA INDEX NAME)

RN 865299-36-1 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(3-chloro-4-methylphenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N,N,2-trimethyl-3,5-dioxo-(CA INDEX NAME)

RN 865299-37-2 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(3-fluoro-4-methylphenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N,N,2-trimethyl-3,5-dioxo-(CA INDEX NAME)

$$\begin{array}{c|c} \text{O} & \\ \text{C} & \text{NMe}_2 & \text{F} \\ \text{Me} & \\ \text{O} & \\ \text{OH} & \text{O} \end{array}$$

RN 865299-38-3 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(2,5-difluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N,N,2-trimethyl-3,5-dioxo-(CA INDEX NAME)

RN 865299-39-4 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(3-chloro-2-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N,N,2-trimethyl-3,5-dioxo-(CA INDEX NAME)

RN 865299-40-7 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(4-chloro-2-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N,N,2-trimethyl-3,5-dioxo-(CA INDEX NAME)

RN 865299-41-8 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(5-chloro-2-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N,N,2-trimethyl-3,5-dioxo-(CA INDEX NAME)

RN 865299-42-9 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(2-fluoro-3-methylphenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N,N,2-trimethyl-3,5-dioxo-(CA INDEX NAME)

RN 865299-43-0 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(5-fluoro-2-methylphenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N,N,2-trimethyl-3,5-dioxo-(CA INDEX NAME)

RN 865299-44-1 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(3,5-dimethylphenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N,N,2-trimethyl-3,5-dioxo-(CA INDEX NAME)

RN 865299-45-2 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 2,3,5,6,7,8-hexahydro-4-hydroxy-6-[(4-hydroxyphenyl)methyl]-N,N,2-trimethyl-3,5-dioxo- (CA INDEX NAME)

RN 865299-47-4 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(4-fluoro-3-methoxyphenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N,N,2-trimethyl-3,5-dioxo-(CA INDEX NAME)

RN 865299-48-5 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(3-chloro-4-methoxyphenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N,N,2-trimethyl-3,5-dioxo-(CA INDEX NAME)

RN 865299-49-6 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(4-chloro-3-methylphenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N,N,2-trimethyl-3,5-dioxo-(CA INDEX NAME)

RN 865299-50-9 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(3,4-difluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N,N,2-trimethyl-3,5-dioxo-(CA INDEX NAME)

$$\begin{array}{c|c} O & & & \\ \hline C-NMe_2 & & F \\ \hline Me & & & \\ O & & N-CH_2 \\ \hline OH & O \\ \end{array}$$

RN 865299-51-0 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(2-chloro-4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N,N,2-trimethyl-3,5-dioxo-(CA INDEX NAME)

RN 865299-52-1 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(3-chlorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N,N,2-trimethyl-3,5-dioxo- (CA INDEX NAME)

RN 865299-53-2 CAPLUS

CN 2,6-Naphthyridine-1,7-dione, 2,3,4,6-tetrahydro-8-hydroxy-2-[(4-methoxyphenyl)methyl]-6-methyl-5-(1-pyrrolidinylcarbonyl)- (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\$$

RN 865299-54-3 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 2,3,5,6,7,8-hexahydro-4-hydroxy-6-[(4-methoxyphenyl)methyl]-N,2-dimethyl-3,5-dioxo- (CA INDEX NAME)

RN 865299-56-5 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(3-chloro-4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N,N-dimethyl-2-(4-methylphenyl)-3,5-dioxo-(CA INDEX NAME)

RN 865299-57-6 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(3-chloro-4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N,N-dimethyl-3,5-dioxo-2-phenyl-(CA INDEX NAME)

RN 865299-58-7 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(3-chloro-4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N,N-dimethyl-3,5-dioxo-2-(3-thienyl)- (CA INDEX NAME)

RN 865299-59-8 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(3-chloro-4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N,N-dimethyl-3,5-dioxo-2-(3-pyridinyl)-(CA INDEX NAME)

RN 865299-60-1 CAPLUS

CN Benzoic acid, 4-[6-[(3-chloro-4-fluorophenyl)methyl]-1[(dimethylamino)carbonyl]-5,6,7,8-tetrahydro-4-hydroxy-3,5-dioxo-2,6naphthyridin-2(3H)-yl]-, methyl ester (CA INDEX NAME)

RN 865299-61-2 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 2-[4-(aminocarbonyl)phenyl]-6-[(3-chloro-4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N,N-dimethyl-3,5-dioxo- (CA INDEX NAME)

RN 865299-62-3 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(3-chloro-4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N,N-dimethyl-2-[4-[(methylamino)carbonyl]phenyl]-3,5-dioxo-(CA INDEX NAME)

RN 865299-63-4 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(3-chloro-4-fluorophenyl)methyl]-2-[4-[(ethylamino)carbonyl]phenyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N,N-dimethyl-3,5-dioxo- (CA INDEX NAME)

RN 865299-64-5 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(3-chloro-4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N,N-dimethyl-2-[4-[[(1-methylethyl)amino]carbonyl]phenyl]-3,5-dioxo- (CA INDEX NAME)

RN 865299-65-6 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(3-chloro-4-fluorophenyl)methyl]-2-[4-[(dimethylamino)carbonyl]phenyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N,N-dimethyl-3,5-dioxo- (CA INDEX NAME)

RN 865299-66-7 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(3-chloro-4-fluorophenyl)methyl]-2-[4-[(diethylamino)carbonyl]phenyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N,N-dimethyl-3,5-dioxo- (CA INDEX NAME)

RN 865299-67-8 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(3-chloro-4-fluorophenyl)methyl]-2-[3-[(dimethylamino)carbonyl]phenyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N,N-dimethyl-3,5-dioxo- (CA INDEX NAME)

RN 865299-68-9 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(3-chloro-4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N,N-dimethyl-2-(4-nitrophenyl)-3,5-dioxo-(CA INDEX NAME)

RN 865299-69-0 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 2-[4-(acetylamino)phenyl]-6-[(3-chloro-4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N,N-dimethyl-3,5-dioxo- (CA INDEX NAME)

RN 865299-70-3 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 2-[4-(acetylmethylamino)phenyl]-6-[(3-chloro-4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N,N-dimethyl-3,5-dioxo- (CA INDEX NAME)

RN 865299-71-4 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(3-chloro-4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N,N-dimethyl-2-[4-[methyl(2,2,2-trifluoroacetyl)amino]phenyl]-3,5-dioxo- (CA INDEX NAME)

RN 865299-72-5 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(3-chloro-4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N,N-dimethyl-2-[4-[methyl[(methylamino)carbonyl]amino]phenyl]-3,5-dioxo-(CA INDEX NAME)

RN 865299-73-6 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(3-chloro-4-fluorophenyl)methyl]-2-[4-[(dimethylamino)carbonyl]methylamino]phenyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N,N-dimethyl-3,5-dioxo- (CA INDEX NAME)

RN 865299-74-7 CAPLUS

CN Carbamic acid, [4-[6-[(3-chloro-4-fluorophenyl)methyl]-1[(dimethylamino)carbonyl]-5,6,7,8-tetrahydro-4-hydroxy-3,5-dioxo-2,6naphthyridin-2(3H)-yl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)

RN 865299-75-8 CAPLUS

CN Carbamic acid, [4-[6-[(3-chloro-4-fluorophenyl)methyl]-1[(dimethylamino)carbonyl]-5,6,7,8-tetrahydro-4-hydroxy-3,5-dioxo-2,6naphthyridin-2(3H)-yl]phenyl]methyl-, methyl ester (9CI) (CA INDEX NAME)

RN 865299-76-9 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(3-chloro-4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N,N-dimethyl-2-[4-[(methylsulfonyl)amino]phenyl]-3,5-dioxo-(CA INDEX NAME)

RN 865299-77-0 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(3-chloro-4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N,N-dimethyl-2-[4-[methyl(methylsulfonyl)amino]phenyl]-3,5-dioxo- (CA INDEX NAME)

RN 865299-78-1 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 2-[4-(aminosulfonyl)phenyl]-6-[(3-chloro-4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N,N-dimethyl-3,5-dioxo- (CA INDEX NAME)

$$H_2N-S$$
 $C-NMe_2$
 C_1
 N
 CH_2
 C

RN 865299-79-2 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(3-chloro-4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N,N-dimethyl-2-[4-[(methylamino)sulfonyl]phenyl]-3,5-dioxo-(CA INDEX NAME)

RN 865299-80-5 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(3-chloro-4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N,N-dimethyl-2-[4-(4-morpholinylsulfonyl)phenyl]-3,5-dioxo- (CA INDEX NAME)

RN 865299-81-6 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(3-chloro-4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N,N-dimethyl-2-[4-(methylsulfonyl)phenyl]-3,5-dioxo-(CA INDEX NAME)

RN 865299-82-7 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(3-chloro-4-fluorophenyl)methyl]-2-(3-cyanophenyl)-2,3,5,6,7,8-hexahydro-4-hydroxy-N,N-dimethyl-3,5-dioxo- (CA INDEX NAME)

RN 865299-83-8 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(3-chloro-4-fluorophenyl)methyl]-2-(4-cyanophenyl)-2,3,5,6,7,8-hexahydro-4-hydroxy-N,N-dimethyl-3,5-dioxo-(CA INDEX NAME)

RN 865299-84-9 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 2-(4-acetylphenyl)-6-[(3-chloro-4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N,N-dimethyl-3,5-dioxo- (CA INDEX NAME)

RN 865299-85-0 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(3-chloro-4-fluorophenyl)methyl]-2-(cyanomethyl)-2,3,5,6,7,8-hexahydro-4-hydroxy-N,N-dimethyl-3,5-dioxo-(CA INDEX NAME)

RN 865299-86-1 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(3-chloro-4-fluorophenyl)methyl]-2-(1-cyanoethyl)-2,3,5,6,7,8-hexahydro-4-hydroxy-N,N-dimethyl-3,5-dioxo-(CA INDEX NAME)

RN 865299-87-2 CAPLUS

CN 2,6-Naphthyridine-2(3H)-acetamide, 6-[(3-chloro-4-fluorophenyl)methyl]-1-[(dimethylamino)carbonyl]-5,6,7,8tetrahydro-4-hydroxy-3,5-dioxo- (CA INDEX NAME)

RN 865299-88-3 CAPLUS

CN 2,6-Naphthyridine-2(3H)-acetamide, 6-[(3-chloro-4-fluorophenyl)methyl]-1-[(dimethylamino)carbonyl]-5,6,7,8-tetrahydro-4-hydroxy- α -methyl-3,5-dioxo- (CA INDEX NAME)

RN 865299-89-4 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(3-chloro-4-fluorophenyl)methyl]-2-(cyclopropylmethyl)-2,3,5,6,7,8-hexahydro-4-hydroxy-N,N-dimethyl-3,5-dioxo-(CA INDEX NAME)

RN 865299-90-7 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(3-chloro-4-fluorophenyl)methyl]-2-(cyclobutylmethyl)-2,3,5,6,7,8-hexahydro-4-hydroxy-N,N-dimethyl-3,5-dioxo-(CA INDEX NAME)

RN 865299-91-8 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(3-chloro-4-fluorophenyl)methyl]-2-(cyclohexylmethyl)-2,3,5,6,7,8-hexahydro-4-hydroxy-N,N-dimethyl-3,5-dioxo-(CA INDEX NAME)

RN 865299-92-9 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(3-chloro-4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-2-(2-methoxyethyl)-N,N-dimethyl-3,5-dioxo-(CA INDEX NAME)

RN 865299-93-0 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(3-chloro-4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N,N-dimethyl-3,5-dioxo-2-(2,2,2-trifluoroethyl)- (CA INDEX NAME)

RN 865299-94-1 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(3-chloro-4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N,N-dimethyl-3,5-dioxo-2-(phenylmethyl)-(CA INDEX NAME)

RN 865299-95-2 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(3-chloro-4-fluorophenyl)methyl]-2-[(4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N,N-dimethyl-3,5-dioxo-(CA INDEX NAME)

RN 865299-96-3 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 2,6-bis[(3-chloro-4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N,N-dimethyl-3,5-dioxo-(CA INDEX NAME)

RN 865299-97-4 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(3-chloro-4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N,N-dimethyl-3,5-dioxo-2-[2-(1-pyrrolidinyl)ethyl]- (CA INDEX NAME)

RN 865299-98-5 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(3-chloro-4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N,N-dimethyl-2-[2-(4-morpholinyl)ethyl]-3,5-dioxo- (CA INDEX NAME)

$$\begin{array}{c|c} O \\ C-NMe_2 \\ O \\ N-CH_2-CH_2-N \\ OH \\ O \\ \end{array}$$

RN 865299-99-6 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 2-(2-aminoethyl)-6-[(3-chloro-4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N,N-dimethyl-3,5-dioxo- (CA INDEX NAME)

RN 865300-00-1 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N,N-dimethyl-2-(1-methylethyl)-3,5-dioxo- (CA INDEX NAME)

RN 865300-01-2 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N,N-dimethyl-2-(2-methylpropyl)-3,5-dioxo- (CA INDEX NAME)

RN 865300-02-3 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(5-chloro-4-fluoro-2-iodophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N,N-dimethyl-2-(1-methylethyl)-3,5-dioxo- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ &$$

RN 865300-03-4 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(5-chloro-4-fluoro-2-iodophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N,N-dimethyl-2-(2-methylpropyl)-3,5-dioxo- (CA INDEX NAME)

RN 865300-04-5 CAPLUS

CN Methanesulfonamide, N-[6-[(3-chloro-4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-2-methyl-3,5-dioxo-2,6-naphthyridin-1-yl]-N-methyl-(CA INDEX NAME)

RN 865300-05-6 CAPLUS

CN Ethanesulfonamide, N-[6-[(3-chloro-4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-2-methyl-3,5-dioxo-2,6-naphthyridin-1-yl]-N-methyl-(CA INDEX NAME)

RN 865300-06-7 CAPLUS

CN Methanesulfonamide, N-[6-[(3-chloro-4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-2-(2-methylpropyl)-3,5-dioxo-2,6-naphthyridin-1-yl]-N-methyl- (CA INDEX NAME)

RN 865300-07-8 CAPLUS

CN Methanesulfonamide, N-[6-[(3-chloro-4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-2-(2-methylpropyl)-3,5-dioxo-2,6-naphthyridin-1-yl]-N-ethyl- (CA INDEX NAME)

$$O = S - Me$$

$$N - Et$$

$$O = N - Et$$

RN 865300-08-9 CAPLUS

CN Urea, N-[6-[(3-chloro-4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-2-methyl-3,5-dioxo-2,6-naphthyridin-1-yl]-N,N',N'-trimethyl- (CA INDEX NAME)

RN 865300-09-0 CAPLUS

CN 2,6-Naphthyridine-1,7-dione, 2-[(3-chloro-4-fluorophenyl)methyl]-2,3,4,6-tetrahydro-8-hydroxy-6-methyl-5-(5-methyl-1,3,4-oxadiazol-2-yl)- (CA INDEX NAME)

RN 865300-10-3 CAPLUS

CN 2,6-Naphthyridine-1,7-dione, 5-bromo-2-[(3-chloro-4-fluorophenyl)methyl]-2,3,4,6-tetrahydro-8-hydroxy- (CA INDEX NAME)

RN 865300-13-6 CAPLUS

CN 2,6-Naphthyridine-1,7-dione, 2-[(3-chloro-4-fluorophenyl)methyl]-2,3,4,6-tetrahydro-8-hydroxy-6-methyl- (CA INDEX NAME)

RN 865300-14-7 CAPLUS

CN 2,6-Naphthyridine-1,7-dione, 2-[(3-chloro-4-fluorophenyl)methyl]-5-ethyl-2,3,4,6-tetrahydro-8-hydroxy-6-methyl- (CA INDEX NAME)

RN 865300-15-8 CAPLUS

CN 2,6-Naphthyridine-1,7-dione, 2-[(3-chloro-4-fluorophenyl)methyl]-5-

cyclopropyl-2,3,4,6-tetrahydro-8-hydroxy-6-methyl- (CA INDEX NAME)

RN 865300-16-9 CAPLUS

CN 2,6-Naphthyridine-1,7-dione, 2-[(3-chloro-4-fluorophenyl)methyl]-2,3,4,6-tetrahydro-8-hydroxy-6-methyl-5-(3-pyridinyl)- (CA INDEX NAME)

RN 865300-17-0 CAPLUS

CN 2,6-Naphthyridine-1,7-dione, 2-[(3-chloro-4-fluorophenyl)methyl]-2,3,4,6-tetrahydro-8-hydroxy-6-methyl-5-(4-pyridinyl)- (CA INDEX NAME)

RN 865300-18-1 CAPLUS

CN 2,6-Naphthyridine-1,7-dione, 2-[(3-chloro-4-fluorophenyl)methyl]-5-(2-furanyl)-2,3,4,6-tetrahydro-8-hydroxy-6-methyl- (CA INDEX NAME)

RN 865300-98-7 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(4-fluorophenyl)methyl]-2,3,5,6-tetrahydro-4-hydroxy-N,N,2-trimethyl-3,5-dioxo- (CA INDEX NAME)

IT 865300-88-5 1056715-72-0

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of hydroxynaphthyridinediones as HIV integrase inhibitors)

RN 865300-88-5 CAPLUS

CN 2,6-Naphthyridine-1-carboxylic acid,

2,3,5,6,7,8-hexahydro-4-hydroxy-6-[(4-methoxyphenyl)methyl]-2-methyl-3,5-dioxo-, methyl ester (CA INDEX NAME)

RN 1056715-72-0 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(3-chloro-4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N-methyl-3,5-dioxo-(CA INDEX NAME)

IT 865300-36-3P 865300-53-4P 865300-54-5P

865300-62-5P 865300-73-8P 865300-81-8P

865300-86-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of hydroxynaphthyridinediones as HIV integrase inhibitors)

RN 865300-36-3 CAPLUS

CN 2,6-Naphthyridine-1-carboxylic acid, 6-[(4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-3,5-dioxo-, ethyl ester, sodium salt (1:1) (CA INDEX NAME)

Na

RN 865300-53-4 CAPLUS

CN 2,6-Naphthyridine-1-carboxylic acid, 6-[(3-chloro-4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-3,5-dioxo-, methyl ester (CA INDEX NAME)

RN 865300-54-5 CAPLUS

CN 2,6-Naphthyridine-1-carboxylic acid, 6-[(3-chloro-4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-2-methyl-3,5-dioxo-, methyl ester (CA INDEX NAME)

RN 865300-62-5 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(3-chloro-4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N,N-dimethyl-3,5-dioxo-(CA INDEX NAME)

RN 865300-73-8 CAPLUS

CN Carbamic acid, [2-[6-[(3-chloro-4-fluorophenyl)methyl]-1[(dimethylamino)carbonyl]-5,6,7,8-tetrahydro-4-hydroxy-3,5-dioxo-2,6naphthyridin-2(3H)-yl]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 865300-81-8 CAPLUS

CN 2,6-Naphthyridine-1-carboxylic acid, 6-[(3-chloro-4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-3,5-dioxo- (CA INDEX NAME)

RN 865300-86-3 CAPLUS

CN 2,6-Naphthyridine-1-carboxylic acid, 6-[(3-chloro-4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-3,5-dioxo-, ethyl ester (CA INDEX NAME)

6

REFERENCE COUNT:

THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 6 OF 7 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2005:1026949 CAPLUS

DOCUMENT NUMBER: 143:326343

TITLE: Preparation of hydroxynaphthyridinediones as HIV

integrase inhibitors

INVENTOR(S): Han, Wei; Egbertson, Melissa; Wai, John S.; Zhuang,

Linghang; Ruzek, Rowena D.; Perlow, Debra S.;

Obligado, Vanessa E.

PATENT ASSIGNEE(S): Merck & Co., Inc., USA SOURCE: PCT Int. Appl., 83 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.					KIND DATE				APPLICATION NO.					DATE				
WO	WO 2005087767				A1	A1 20050922		WO 2005-US7730					20050309					
	W:	ΑE,	AG,	AL,	AM,	ΑT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,	
		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,	
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KP,	KR,	KΖ,	LC,	
		LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NI,	
		NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	
		SY,	ΤJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW
	RW:	BW,	GH,	GM,	ΚE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	
		ΑZ,	BY,	KG,	KΖ,	MD,	RU,	ТJ,	TM,	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	
		EE,	ES,	FI,	FR,	GB,	GR,	HU,	ΙE,	IS,	ΙT,	LT,	LU,	MC,	NL,	PL,	PT,	
		RO,	SE,	SI,	SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	${ m ML}$,	
		MR,	NE,	SN,	TD,	ΤG												
BR	BR 2005008495				А	20070731			BR 2005-8495				20050309					
IN	IN 2006CN03154				Α	20070608				IN 2006-CN3154			20060831					
MX	MX 2006010252				Α	20061030				MX 2006-10252			20060908					
RIORIT	IORITY APPLN. INFO.:								US 2004-551625P				P 20040309					
										US 2004-633134P				P 20041203				
										WO 2	005-	US77	30	,	W 2	0050	309	

OTHER SOURCE(S): MARPAT 143:326343

GI

The title compds. I [X1 = H, Br, Cl, F, OMe; X2 = H, Br, Cl, F, OMe, alkyl, etc.; R4 = CO2H, C(O)O(alkyl), CONH2, etc.; R5 = H, alkyl, cycloalkyl, etc.] which are inhibitors of HIV integrase and inhibitors of HIV replication, and therefore are useful in the prevention and treatment of infection by HIV and in the prevention, delay in the onset, and treatment of AIDS, were prepared and formulated. E.g., a multi-step synthesis of II, starting from piperidin-2-one and 4-fluorobenzyl bromide, was given. The representative compds. I (including II) were tested in the integrase assay and were found to have IC50's less than about 1 μM . The compds. I can be employed against HIV infection and AIDS as compds. per se or in the form of pharmaceutically acceptable salts. The compds. I and their salts can be employed as ingredients in pharmaceutical compns., optionally in combination with other antivirals, immunomodulators, antibiotics or vaccines.

IT 865300-98-7

RL: PRPH (Prophetic)

(Preparation of hydroxynaphthyridinediones as HIV integrase inhibitors)

RN 865300-98-7 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(4-fluorophenyl)methyl]-2,3,5,6-tetrahydro-4-hydroxy-N,N,2-trimethyl-3,5-dioxo- (CA INDEX NAME)

IT 865300-19-2P

RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP

(Preparation); USES (Uses)

(preparation of hydroxynaphthyridinediones as HIV integrase inhibitors)

RN 865300-19-2 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(3-chloro-4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N,N-dimethyl-2-(1-methylethyl)-3,5-dioxo-, sodium salt (1:1) (CA INDEX NAME)

Na

IT 865298-58-4P 865298-70-0P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of hydroxynaphthyridinediones as HIV integrase inhibitors)

RN 865298-58-4 CAPLUS

CN 2,6-Naphthyridine-1-carboxylic acid,

6-[(4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-3,5-dioxo-, methyl ester (CA INDEX NAME)

RN 865298-70-0 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-2-methyl-N-(1-methylethyl)-3,5-dioxo- (CA INDEX NAME)

IT 865298-59-5P 865298-60-8P 865298-61-9P 865298-62-0P 865298-63-1P 865298-64-2P 865298-65-3P 865298-66-4P 865298-67-5P 865298-68-6P 865298-69-7P 865298-74-4P 865298-76-6P 865298-77-7P 865298-78-8P 865298-81-3P 865298-82-4P 865298-83-5P 865298-84-6P 865298-85-7P 865298-86-8P 865298-87-9P 865298-89-1P 865298-90-4P 865298-91-5P 865298-92-6P 865298-93-7P 865298-94-8P 865298-95-9P 865298-96-0P 865298-97-1P 865299-00-9P 865299-04-3P 865299-05-4P 865299-06-5P 865299-07-6P 865299-07-6P 865299-08-7P 865299-09-8P 865299-10-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of hydroxynaphthyridinediones as HIV integrase inhibitors) 865298-59-5 CAPLUS

2,6-Naphthyridine-1-carboxamide, 6-[(4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N,N-dimethyl-3,5-dioxo- (CA INDEX NAME)

RN

CN

RN 865298-60-8 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, N-cyclobutyl-6-[(4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-3,5-dioxo-(CA INDEX NAME)

RN 865298-61-9 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, N-cyclopropyl-6-[(4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-3,5-dioxo- (CA INDEX NAME)

RN 865298-62-0 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N-(1-methylethyl)-3,5-dioxo- (CA INDEX NAME)

RN 865298-63-1 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N-methyl-3,5-dioxo- (CA INDEX NAME)

RN 865298-64-2 CAPLUS

CN 2,6-Naphthyridine-1-carboxylic acid, 6-[(4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-3,5-dioxo- (CA INDEX NAME)

RN 865298-65-3 CAPLUS

CN Methanesulfonamide, N-[6-[(4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-3,5-dioxo-2,6-naphthyridin-1-yl]-N-methyl- (CA INDEX NAME)

RN 865298-66-4 CAPLUS

CN Acetamide, N-[6-[(4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-2-methyl-3,5-dioxo-2,6-naphthyridin-1-yl]-N-methyl- (CA INDEX NAME)

RN 865298-67-5 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N,N,2-trimethyl-3,5-dioxo- (CA INDEX NAME)

RN 865298-68-6 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, N-cyclobutyl-6-[(4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-2-methyl-3,5-dioxo- (CA INDEX NAME)

RN 865298-69-7 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, N-cyclopropyl-6-[(4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-2-methyl-3,5-dioxo-(CA INDEX NAME)

RN 865298-74-4 CAPLUS

CN 2,6-Naphthyridine-1,7-dione, 2-[(4-fluorophenyl)methyl]-2,3,4,6-tetrahydro-8-hydroxy-6-methyl-5-(1-piperidinylcarbonyl)- (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ &$$

RN 865298-76-6 CAPLUS

CN 2,6-Naphthyridine-1,7-dione, 2-[(4-fluorophenyl)methyl]-2,3,4,6-tetrahydro-8-hydroxy-5-(4-thiomorpholinylcarbonyl)- (CA INDEX NAME)

RN 865298-77-7 CAPLUS

CN 2,6-Naphthyridine-1,7-dione, 2-[(4-fluorophenyl)methyl]-2,3,4,6-tetrahydro-8-hydroxy-5-(1-piperazinylcarbonyl)- (CA INDEX NAME)

$$\begin{array}{c} H \\ N \\ C = O \\ CH_2 - N \\ O \end{array}$$

RN 865298-78-8 CAPLUS

CN 2,6-Naphthyridine-1,7-dione, 2-[(4-fluorophenyl)methyl]-2,3,4,6-tetrahydro-8-hydroxy-5-(1-piperazinylcarbonyl)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 865298-77-7 CMF C20 H21 F N4 O4

$$\begin{array}{c} & & \\ & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ &$$

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 865298-81-3 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(3-chloro-4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N,N,2-trimethyl-3,5-dioxo-(CA INDEX NAME)

RN 865298-82-4 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(3-chloro-4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N,N,2-trimethyl-3,5-dioxo-, sodium salt (1:1) (CA INDEX NAME)

Na

RN 865298-83-5 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(3-chloro-4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N,N-dimethyl-2-(1-methylethyl)-3,5-dioxo-(CA INDEX NAME)

RN 865298-84-6 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(3-chloro-4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N,N-dimethyl-2-(2-methylpropyl)-3,5-dioxo-(CA INDEX NAME)

RN 865298-85-7 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(3-chloro-4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N-methyl-2-(2-methylpropyl)-3,5-dioxo-(CA INDEX NAME)

RN 865298-86-8 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(3-chloro-4-fluorophenyl)methyl]-N,N-diethyl-2,3,5,6,7,8-hexahydro-4-hydroxy-2-methyl-3,5-dioxo- (CA INDEX NAME)

RN 865298-87-9 CAPLUS

CN 2,6-Naphthyridine-1,7-dione, 2-[(3-chloro-4-fluorophenyl)methyl]-2,3,4,6-tetrahydro-8-hydroxy-6-methyl-5-[(4-methyl-1-piperazinyl)carbonyl]- (CA INDEX NAME)

RN 865298-88-0 CAPLUS

CN 2,6-Naphthyridine-1,7-dione, 2-[(3-chloro-4-fluorophenyl)methyl]-2,3,4,6-tetrahydro-8-hydroxy-6-methyl-5-[(4-methyl-1-piperazinyl)carbonyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 865298-87-9

CMF C22 H24 C1 F N4 O4

$$\begin{array}{c} \text{Me} \\ \\ \text{N} \\ \\ \text{C} \\ \text{O} \\ \text{OH} \\ \end{array}$$

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 865298-89-1 CAPLUS

CN 2,6-Naphthyridine-1,7-dione, 2-[(3-chloro-4-fluorophenyl)methyl]-2,3,4,6-tetrahydro-8-hydroxy-6-methyl-5-(4-thiomorpholinylcarbonyl)- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ & & & \\ &$$

RN 865298-90-4 CAPLUS

CN 2,6-Naphthyridine-1,7-dione, 2-[(3-chloro-4-fluorophenyl)methyl]-2,3,4,6-tetrahydro-8-hydroxy-6-methyl-5-(1-piperidinylcarbonyl)- (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\$$

RN 865298-91-5 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(3-chloro-4-fluorophenyl)methyl]-N-(cyclopropylmethyl)-2,3,5,6,7,8-hexahydro-4-hydroxy-2-methyl-3,5-dioxo-(CA INDEX NAME)

RN 865298-92-6 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(3-chloro-4-fluorophenyl)methyl]-N-cyclopropyl-2,3,5,6,7,8-hexahydro-4-hydroxy-2-methyl-3,5-dioxo-(CA INDEX NAME)

RN 865298-93-7 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(3-chloro-4-fluorophenyl)methyl]-N-ethyl-2,3,5,6,7,8-hexahydro-4-hydroxy-N,2-dimethyl-3,5-dioxo-(CA INDEX NAME)

RN 865298-94-8 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(3-chloro-4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N,2-dimethyl-N-(1-methylethyl)-3,5-dioxo-(CA INDEX NAME)

RN 865298-95-9 CAPLUS

CN 2,6-Naphthyridine-1,7-dione, 2-[(3-chloro-4-fluorophenyl)methyl]-5-[(4,4-difluoro-1-piperidinyl)carbonyl]-2,3,4,6-tetrahydro-8-hydroxy-6-methyl-(CA INDEX NAME)

$$\begin{array}{c|c} F & F \\ \hline & N \\ \hline & C = O \\ \hline & C = O \\ \hline & N \\ \hline & O \\ \hline & O \\ \hline & O \\ \end{array}$$

RN 865298-96-0 CAPLUS

CN 2,6-Naphthyridine-1,7-dione, 2-[(3-chloro-4-fluorophenyl)methyl]-2,3,4,6-tetrahydro-8-hydroxy-6-methyl-5-(4-morpholinylcarbonyl)- (CA INDEX NAME)

RN 865298-97-1 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(3-chloro-4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N,2-dimethyl-3,5-dioxo-(CA INDEX NAME)

RN 865299-00-9 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, N,N-diethyl-6-[(4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-2-methyl-3,5-dioxo-(CA INDEX NAME)

RN 865299-04-3 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, N,N-diethyl-6-[(4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-3,5-dioxo-(CA INDEX NAME)

RN 865299-05-4 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N-methyl-N-(2-methylpropyl)-3,5-dioxo- (CA INDEX NAME)

RN 865299-06-5 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, N-ethyl-6-[(4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N-methyl-3,5-dioxo- (CA INDEX NAME)

RN 865299-07-6 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N-methyl-3,5-dioxo-N-propyl- (CA INDEX NAME)

RN 865299-08-7 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N-methyl-N-(1-methylethyl)-3,5-dioxo- (CA INDEX NAME)

RN 865299-09-8 CAPLUS

CN 2,6-Naphthyridine-1,7-dione, 2-[(4-fluorophenyl)methyl]-2,3,4,6-tetrahydro-8-hydroxy-5-(1-pyrrolidinylcarbonyl)- (CA INDEX NAME)

RN 865299-10-1 CAPLUS

CN 2,6-Naphthyridine-1,7-dione, 2-[(4-fluorophenyl)methyl]-2,3,4,6-tetrahydro-8-hydroxy-5-(4-morpholinylcarbonyl)- (CA INDEX NAME)

IT 865300-36-3P 865300-53-4P 865300-54-5P

865300-62-5P 865300-86-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of hydroxynaphthyridinediones as HIV integrase inhibitors)

RN 865300-36-3 CAPLUS

CN 2,6-Naphthyridine-1-carboxylic acid,

6-[(4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-3,5-dioxo-, ethyl ester, sodium salt (1:1) (CA INDEX NAME)

Na

RN 865300-53-4 CAPLUS

CN 2,6-Naphthyridine-1-carboxylic acid, 6-[(3-chloro-4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-3,5-dioxo-, methyl ester (CA INDEX NAME)

RN 865300-54-5 CAPLUS

CN 2,6-Naphthyridine-1-carboxylic acid, 6-[(3-chloro-4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-2-methyl-3,5-dioxo-, methyl ester (CA INDEX NAME)

RN 865300-62-5 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(3-chloro-4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N,N-dimethyl-3,5-dioxo-(CA INDEX NAME)

RN 865300-86-3 CAPLUS

CN 2,6-Naphthyridine-1-carboxylic acid, 6-[(3-chloro-4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-3,5-dioxo-, ethyl ester (CA INDEX NAME)

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REFERENCE COUNT:

THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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2005:158668 CAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 142:261561

TITLE: Preparation of pyrido[1,2-a]pyrazine-1,8-dione

derivatives as HIV integrase inhibitors

Miyazaki, Susumu; Katoh, Susumu; Adachi, Kaoru; INVENTOR(S): Isoshima, Hirotaka; Kobayashi, Satoru; Matsuzaki,

Yuji; Watanabe, Wataru; Yamataka, Kazunobu; Kiyonari,

Shinichi; Wamaki, Shuichi

PATENT ASSIGNEE(S): Japan Tobacco Inc., Japan SOURCE: PCT Int. Appl., 355 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PAT									APPLICATION NO.									
WO	2005 W:	0169 AE, CN, GE, LK, NO, TJ, BW, AZ, EE,	27 AG, CO, GH, LR, NZ, TM, GH, BY, ES,	AL, CR, GM, LS, OM, TN, GM, KG, FI,	A1 AM, CU, HR, LT, PG, TR, KE, KZ,	AT, CZ, HU, LU, PH, TT, LS, MD, GB,		0224 AZ, DK, IL, MA, PT, UA, MZ, TJ,	BA, DM, IN, MD, RO, UG, NA, TM, IE,	WO 2 BB, DZ, IS, MG, RU, US, SD, AT,	004- BG, EC, JP, MK, SC, UZ, SL, BE, LU,	JP11 BR, EE, KE, MN, SD, VC, SZ, BG, MC,	B69 BW, EG, KG, MW, SE, VN, TZ, CH,	BY, ES, KP, MX, SG, YU, UG, CY,	2 BZ, FI, KR, MZ, SK, ZA, ZM, CZ,	GB, KZ, NA, SL, ZM, ZW, DE, RO,	CH, GD, LC, NI, SY, ZW AM, DK, SE,	
EP	2577 1544 1544	239 199 199	ŕ		A1 A1 B1		2005 2005 2008	0622 1015		EP 2	004-	7718	30		2	0040	812	
AT	R: 3814 4113 2042	IE, 631 15	SI,	LT,	LV, B2 T	FI,	ES, RO, 2006 2008 2009	MK, 0830 1015	CY,	AL, JP 2 AT 2	TR,	BG, 5132 7718	CZ, 09 30	EE,	HU, 2 2	PL, 0040 0040	SK, 812 812	HR
US US US JP US	2315 2005 2006 7211 2006 2008	IT, 700 0054 0052 572 2328 0161	LI, 645 361 49 311	LU,	MC, T3 A1 A1 B2	NL,	CZ, PL, 2009 2005 2006 2007 2006 2008	PT, 0401 0310 0309 0501 0907	RO,	SE, ES 2 US 2 US 2 US 2	SI, 004- 004- 005- 006- 007-	SK, 7718 9582 2556 1182 7832	TR, 30 25 05 60	AL,	HR, 2 2 2 2 2	LT, 0040 0041 0051 0060 0070	LV, 812 005 013 421 406	MK
PRIORITY					MARI	РАТ	142:	2615		JP 2 EP 2 JP 2 WO 2 US 2	003- 004- 004- 005- 004- 004-	1348 7718 5132 JP11 9582	96 30 09 869 25		A3 2 A3 2	0040 0040 0040 0040 0041	428 812 812 812 005	

GΙ

AB The title compds. I [wherein R1 = (un)substituted alkyl, alkenyl, alkynyl, etc.; X = (un)substituted CH2, N=CH, or CH=N; Y1-Y2-Y3 = (un)substituted C=CH-NH, N-CH=N, N-CH=CH, C=N-NH, N-N=CH, etc.; R2 = H, alkyl, arylalkyl, or (un)substituted SO2H] or pharmaceutically acceptable salts thereof are prepared as anti-HIV agents. For example, the compound II+HCl was prepared in a multi-step synthesis. II+HCl inhibited HIV integrase with IC50 of <0.01 μ M. Formulations containing I as an active ingredient were also described.

IT 845719-70-2P 845719-85-9P 845720-07-2P 845720-08-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of pyrido[1,2-a]pyrazine-1,8-dione derivs. as HIV integrase inhibitors)

ΙI

RN 845719-70-2 CAPLUS

CN 2,6-Naphthyridine-1,7-dione, 2-[(3-chlorophenyl)methyl]-2,3,4,6-tetrahydro-8-hydroxy-6-methyl- (CA INDEX NAME)

RN 845719-85-9 CAPLUS

CN 2,6-Naphthyridine-1,7-dione, 2-[(3,4-dichlorophenyl)methyl]-2,3,4,6-tetrahydro-8-hydroxy-6-methyl- (CA INDEX NAME)

$$\begin{array}{c|c} \text{Me} & \text{C1} \\ \text{N} & \text{CH}_2 \end{array}$$

RN 845720-07-2 CAPLUS

CN 2,6-Naphthyridine-1,7-dione, 2,3,4,6-tetrahydro-8-hydroxy-6-methyl-2-[[3-(trifluoromethyl)phenyl]methyl]- (CA INDEX NAME)

RN 845720-08-3 CAPLUS

CN 2,6-Naphthyridine-1,7-dione, 2,3,4,6-tetrahydro-8-hydroxy-2-[(3-methoxyphenyl)methyl]-6-methyl- (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> log y COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	39.98	226.08
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-5.74	-5.74

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